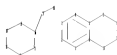
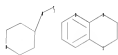


<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10518655.str



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chain nodes :
17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
16-17 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 15-16 16-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 11 :

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G1:CH2,C,SO2,S

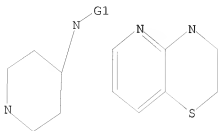
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS  
L1 STR



G1 CH<sub>2</sub>,C,S,O<sub>2</sub>,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:38:41 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 18 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 545 TO 1375  
PROJECTED ANSWERS: 106 TO 614

L2 18 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:38:50 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 869 TO ITERATE

100.0% PROCESSED 869 ITERATIONS 267 ANSWERS  
SEARCH TIME: 00.00.01

L3 267 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
178.36	178.57

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:38:54 ON 19 FEB 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 19 Feb 2008 VOL 148 ISS 8  
FILE LAST UPDATED: 18 Feb 2008 (20080218/ED)

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=> s l3

L4 19 L3

=> d ibib abs hitstr tot



14 ANNEX 2 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)  
 ACCESSION NUMBER: 2008;71437 CAPLUS  
 DOCUMENT NUMBER: 14816492  
 TITLE: Preparation of 1-methyl-1*H*-1,5-naphthyridine-2-one  
 and related compounds as antibacterial agents  
 INVENTOR(S): Davies, David Thomas; Jones, Graham Kilgus; Pearson, Neil David  
 PATENT ASSIGNER(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 73pp.  
 COUNTRY: GB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

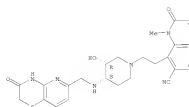
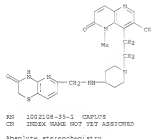
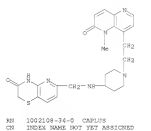
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NO 2006046468	A	20061117	NO 2007-EP15647	20070608
US, AT, AU, BR, CA, CH, CN, CO, DE, DK, EP, ES, FI, FR, GB, GR, HU, IL, IN, JP, KR, MA, MX, MY, NZ, NO, PL, PT, RU, SE, SG, SI, SK, TH, TR, TW, UA, US, VE, WO, ZA				
GB 2006-11470	A	20060469		
GB 2007-6190	A	20070330		

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AS Title compds. 2 [S = C or N; R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> = H, halo, cyano, etc.]; X<sup>1</sup> = H or alkyl; further detail on X<sup>2</sup> see Q100; A = Cl, etc.; R<sup>3</sup> is as defined for R<sup>1</sup> or R<sup>2</sup> or is oxy; n = 1, 2; U = CO or CH<sub>2</sub>; R<sup>4</sup> = (un)substituted heterocyclic carbonyl or heterocyclic Q<sup>2</sup> containing up to four heteroatoms in each ring in which at least one of rings (a) and (b) is aromatic; R<sup>5</sup> is C or N when part of an aromatic ring, or CH<sub>2</sub> (when part of a non-aromatic ring); X<sup>2</sup> is H, O, CO, etc. (when part of an aromatic or non-aromatic ring) or may be addition be CH<sub>2</sub> (when part of a non-aromatic ring); X<sup>3</sup>, X<sup>4</sup> = H or O; Y<sup>1</sup> = S

14 ANNEX 2 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)



Habt

14 ANNEX 2 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)  
 to 4 atom linker group, each atom of which is selected from N, O, CO, etc.

(when part of an atom, or non-atom, ring) or may add, be CH<sub>2</sub> (when part of a non-atom, ring); Y<sup>2</sup> = 2 to 6 atom linker group, each atom of which is selected from N, O, CO, etc. (when part of an atom, or non-atom, ring) or may add, be CH<sub>2</sub> (when part of a non-atom, ring); R<sup>1</sup>, R<sup>2</sup>

= H, alkylthio, halo, etc.) or pharmaceutically acceptable salt, solvates or N-oxides thereof were prep. Thus, a multi-step synthesis of compd. 11, starting from 6-methoxy-1,5-naphthyridine-4-ol, was given. Compd. 1 herein had a MIC of 32 µg/ml against a strain of at least one of *Staphylococcus aureus*, *Streptococcus pneumoniae*, *Streptococcus pyogenes*, etc.

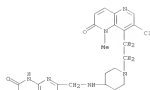
17 1002108-13-1P 1002108-29-3P 1002108-34-6P

1002108-35-1P

RU: PAC (Pharmacological activity); RU: (Reactant); RU: (Synthetic preparation); RU: (Therapeutic use); RU: (Biological study); RU: (Preparation); RU: (Reactant or reagent); RU: (Use) (Use) (Preparation of 1-methyl-1*H*-1,5-naphthyridine-2-one and related compds. as antibacterial agents)

RU 1002108-13-5 CAPLUS

CH INDEX NAME NOT TEST ASSIGNED



RU 1002108-29-3 CAPLUS

CH INDEX NAME NOT TEST ASSIGNED

14 ANNEX 2 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)

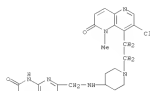
17 1002107-73-4P 1002107-88-1P 1002107-94-9P

1002107-95-6P 1002108-03-9P

RU: PAC (Pharmacological activity); RU: (Synthetic preparation); RU: (Therapeutic use); RU: (Biological study); RU: (Preparation); RU: (Use) (Preparation of 1-methyl-1*H*-1,5-naphthyridine-2-one and related compds. as antibacterial agents)

RU 1002107-73-4 CAPLUS

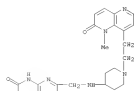
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● 2 HCl

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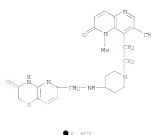
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● 2 HCl

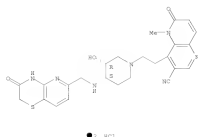
RU 1002107-94-9 CAPLUS

L4 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
C9 INDEX NAME NOT YET ASSIGNED



IN 1002107-95-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



```

723  1GG21G8-Q9-9  CAS175
CN3  2E-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[1-[2-(1,2-dihydro-7-methoxy-1-
methy1-2-oxo-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-
NAME] (CA INDEX

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14 ANSWER 3 OF 15 CAPLUS OCP07872 2008 ACS on 878  
ACCESSION NUMBER: 2008-43343 CAPLUS  
DOCUMENT NUMBER: 1481244749  
TITLE: Preparation of azaricrylic compounds for the  
treatment of bacterial infection  
INVENTOR(S): Brooks, Gerald; Miles, Timothy; Hayes; Pearson, Neil  
PATENT ASSIGNEE(S): David  
SOURCE: GSKo Group Limited, UK  
PCT Int. Appl., Bipp.  
CLASS: F24K31  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

[illegible]

52

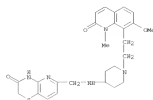
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STRUCTURE DIAGRAM TWO LARGES FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT -
X1  Title marks: 7 (one of B and D is C2, the other is a bendy one of E1
  and E2 is C or N, the other is C1, X1a, X1b = N, halo, gamma, etc.; X2
  or alkyl; further detail on X2 is given by A = OL, etc., X3 is as defined for
  X1a and X1b or is one; n = 1, 2, 3; CO or CH2 X3 = unsaturated
  in each
  in each with at least one of C or N and is aromatic X1a is C or N
  (when
  part of an aromatic ring), or CH16 (when part of a non-aromatic ring);
  X2 is N.
  H101, G, etc. (when part of an aromatic or a non-aromatic ring), or may
  be addition
  be CH14X15 (when part of a non-aromatic ring); X3, X5 = N or C; Y1 = O
  atom linker group, each atom of which is saturated from N, H101, O, etc.
  part of an aromatic or a non-aromatic ring);
  atom linker group, each atom of which is saturated from N, H101, O, etc.
  part of a non-aromatic ring); Y2 = 2 to 5 atom linker group, each

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Habte

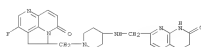
14 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
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[illegible]

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infection)
NN  1001321-98-7  CAPLUS
CN  INDEX NAME NOT YET ASSIGNED
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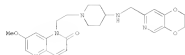
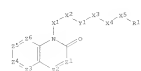
● 2 303

JT 1001321-95-4F 1001321-96-5F  
 EL: FMC (Pharmacological activity); PRPH (Prophetic); SWN (Synthetic preparation); THY (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 Preparation of azaacyclic compds. for treatment of bacterial infection  
 FN 1001321-95-4 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED  
 Absolute stereochemistry.

2/19/2008



L4 ANSWER 4 OF 19 CAPTUS COPYRIGHT 2008 ACS on STN (Continued)



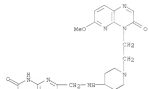
11

XZ Title compds. [X] = (un)substituted alkyl, aryl or heterocycle; K1 = (un)substituted alkyl; X2 = NMe<sub>2</sub> or bondy R<sub>2</sub>; H = (un)substituted alkyl- or imino protecting group; X3 = NR<sub>2</sub>, C(=O)SR<sub>2</sub> or bondy R<sub>2</sub>; H<sub>2</sub> = H; (un)substituted alkyl; (un)substituted alkenyl; (un)substituted alkynyl; (un)substituted alkyl; K4 and K5 may combine to form oxo group; K4 = (un)substituted alkylene, alkylene, alkyne, etc.; X5 = oxygen, sulfur, sulfoxide, sulfone, selenoxide, telluride, selenium, tellurium, hydrocarbon residue or (un)substituted dialkylent allylic amino residue; Z1-Z6 = nitrogen atom or CR7 with the provision that at least one of Z3-Z6 must contain a stony element; R<sub>2</sub> = hydrogen or methyl.  
prepared THF, a multi-step synthesis of 11 hydrochlorides, starting from 1-(trifluoromethyl)piperidine-4-carbinol, was given. The molecular weight by mass spectroscopy (MS) value of 0.9318 g/cm<sup>3</sup> against S. aureus DAP299 and S. aureus T-303.

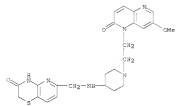
959614-64-3P  
 EL: AZV (Adverse effect, including toxicity); PAC (Pharmacological activity); SYN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 [preparation of naphthylidiones and related compds. as antibacterial

```
agents /
IN  959614-00-7 CAPLUS
CN  2E-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(2-oxo-1,7-
    naphthylidin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX
NAME)
```

14 ANSWER 4 OF 19 CAPLOS COPYRIGHT 2008 ACS on 3/7/08 (Continued)



N20 959614-64-3 CAPLUS  
 C20 28-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-methoxy-2-oxo-1,5-naphthylridin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX  
 NAME)



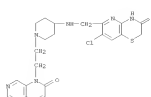
IT 959613-90-2P 959614-24-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BLO (Biological study); PREP (Preparation); USES  
 (Uses)  
 [preparation of naphthyridinones and related compds. as antibacterial  
 agents]

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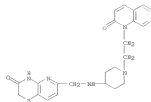
agents)
F01 959613-90-2 CAPLITE
CN 28-Pyrrodo[3,2-b]-2,4-thiazin-3(4H)-one, 7-chloro-6-[[1-[2-(2-oxo-1,8-
naphthylidin-1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]-, Hydrochloride
(1:1) (CA INDEX NAME)

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14 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN [Continued]

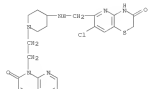


INN	959614-03-0	CAPLOS	
CN	2R-Pyrado[3,2-b]-1,4-thiazin-3(4R)-one, 6-[[[3-[2-(2-oxo-2,7-naphthyridin- 1(2H)-yl)ethyl]-4-oxopiperidin-5-yl]amino]methyl]-		
			ICA INDEX NAME



HN 959614-29-0 CAPLUS  
 CN 2B-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(6-methoxy-3-oxopyrrolo[2,3-b]pyrazin-4(3H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CAPLUS NAME)

1.4 ANSWER 4 OF 19 CAPLOS COPYRIGHT 2008 ACS on STN [Continued]

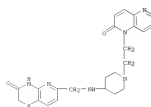


● HCl

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EN 959614-24-5 CAPLUS
CN 2B-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one,
6-[[[2-[2-(2-oxo-2,5-naphthyridin-
1(2H)-yl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

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REFERENCE COURT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

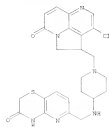






14 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

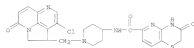
Notation [-]:



● HC1

RU 954005-13-4 CAPLUS  
 CN 78-Pyrrolo[2,3-d][1,5]thiazine-6-carboxamide,  
 N-[1-[(3-chloro-4,5-dihydro-7-

oxo-7H-pyrrolo[2,3-d][1,5]naphthylidene-6-ylmethyl]-4-piperidinyl]-3,4-  
 dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

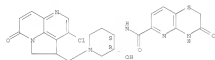


● HC1

RU 954005-19-8 CAPLUS  
 CN 78-Pyrrolo[2,3-d][1,5]naphthylidene-7-one,  
 4-[[4-[(1,3,4-dihydro-3-oxo-2H-

pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-piperidinyl]methyl]-3-  
 fluoro-4,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

14 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

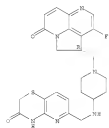


● HC1

RU 954005-25-8 CAPLUS  
 CN 78-Pyrrolo[2,3-d][1,5]naphthylidene-7-one,  
 4-[[4-[(1,3,4-dihydro-3-oxo-2H-

pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-piperidinyl]methyl]-3-  
 fluoro-4,5-dihydro-, hydrochloride (1:1), (4S)- (CA INDEX NAME)

Absolute stereochemistry.



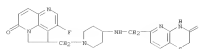
● HC1

RU 954005-12-4 CAPLUS  
 CN 78-Pyrrolo[2,3-d][1,5]naphthylidene-7-one,  
 4-[[1,3,4,4b-tetrahydro-2H-

3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-fluoro-1-  
 piperidinyl]methyl]-3-fluoro-4,5-dihydro-, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.

14 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

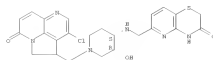


● HC1

RU 954005-37-0 CAPLUS  
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 3-chloro-4-[[1,3,4,4b-tetrahydro-2H-

dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-hydroxy-1-  
 piperidinyl]methyl]-4,5-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HC1

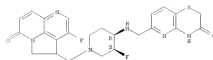
RU 954005-39-2 CAPLUS  
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dihydro-7-oxo-7H-pyrrolo[2,3-d][1,5]naphthylidene-6-ylmethyl]-3-hydroxy-  
 6-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



14 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

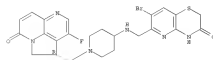


● HC1

RU 954005-52-2 CAPLUS  
 CN 78-Pyrrolo[2,3-d][1,5]naphthylidene-7-one,  
 4-[[4-[[1,3,4-dihydro-3-oxo-2H-

pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-3-piperidinyl]methyl]-3-fluoro-4,5-dihydro-, hydrochloride (1:1), (4S)- (CA INDEX NAME)

Absolute stereochemistry.



● HC1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FOUNDT

14 ANSWER 6 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM  
 ACCESSION NUMBER: 2007-117635 CAPSUS  
 DOCUMENT NUMBER: 147462228  
 TITLE: Antibacterial agents  
 INVENTOR(S): Miller, William Henry Price, Alan T.  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 46pp.  
 COMBID: P18302  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2007118359	A2	20070108	MO 2007-0846018	20070405
US	AB, AG, AU, JP, KR, MX, RU, SG, TW, US, WO, ZA	20070108	US 2006-0846018	20070405
CA, CN, CO, CH, CY, CZ, DE, DK, DM, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
BR, EP, ES, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
BM, AT, BE, BG, BR, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
PT, TR, IT, LV, LU, NL, NO, PL, PT, RO, SE, SI, SK, TH, TR, TW, US, WO, ZA				
GR, HU, ES, LV, NL, NO, PL, PT, RO, SE, SI, SK, TH, TR, TW, US, WO, ZA				
PT, TR, IT, LV, LU, NL, NO, PL, PT, RO, SE, SI, SK, TH, TR, TW, US, WO, ZA				

PRIORITY APPL. INFO.:  
 OTHER SOURCE(S):  
 AB 28-chloro-2-one deriv. useful in the treatment of bacterial infections in humans, particularly those agents are disclosed herein.  
 IT 95465-02-TP  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); USES (Uses) (antibacterial chromones)  
 BR 95465-08-7 CAPSUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[1-[(1,2-oxo-2H-1-benzopyran-8-yl)ethyl]-4-pyridinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 7 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM  
 ACCESSION NUMBER: 2007-199290 CAPSUS  
 DOCUMENT NUMBER: 147189180  
 TITLE: 1,2-dihydro-4H-pyrido[3,2,1-ij]quinolin-4-one  
 INVENTOR(S): Cailliau, Nathalie; Davies, David Thomas; Eken, Joel; Mubely, Henneke; Alan Joseph; Fialakowski; Scherer, Senthil Kumar; Markwell, Roger Edwards; Miles, Timothy  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 11pp.  
 COMBID: P18302  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2007081559	A2	20070719	MO 2006-0846023	20061017
US	AB, AG, AU, JP, KR, MX, RU, SG, TW, US, WO, ZA	20070719	US 2006-0846023	20061017
CA, CN, CO, CH, CY, CZ, DE, DK, DM, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
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PRIORITY APPL. INFO.:  
 OTHER SOURCE(S):  
 GI MARIAT 147189180  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); USES (Uses) (antibacterial chromones)  
 BR 95465-02-TP  
 CH 28-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[1-[(1,2-oxo-2H-1-benzopyran-8-yl)ethyl]-4-pyridinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 7 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM  
 ACCESSION NUMBER: 2007-199290 CAPSUS  
 DOCUMENT NUMBER: 147189180  
 TITLE: 1,2-dihydro-4H-pyrido[3,2,1-ij]quinolin-4-one  
 INVENTOR(S): Cailliau, Nathalie; Davies, David Thomas; Eken, Joel; Mubely, Henneke; Alan Joseph; Fialakowski; Scherer, Senthil Kumar; Markwell, Roger Edwards; Miles, Timothy  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 11pp.  
 COMBID: P18302  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2007081559	A2	20070719	MO 2006-0846023	20061017
US	AB, AG, AU, JP, KR, MX, RU, SG, TW, US, WO, ZA	20070719	US 2006-0846023	20061017
CA, CN, CO, CH, CY, CZ, DE, DK, DM, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
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BM, AT, BE, BG, BR, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
PT, TR, IT, LV, LU, NL, NO, PL, PT, RO, SE, SI, SK, TH, TR, TW, US, WO, ZA				
GR, HU, ES, LV, NL, NO, PL, PT, RO, SE, SI, SK, TH, TR, TW, US, WO, ZA				
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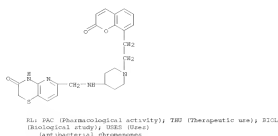
PRIORITY APPL. INFO.:  
 OTHER SOURCE(S):  
 GI MARIAT 147189180  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); USES (Uses) (antibacterial chromones)  
 BR 95465-02-TP  
 CH 28-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[1-[(1,2-oxo-2H-1-benzopyran-8-yl)ethyl]-4-pyridinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 7 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM  
 ACCESSION NUMBER: 2007-199290 CAPSUS  
 DOCUMENT NUMBER: 147189180  
 TITLE: 1,2-dihydro-4H-pyrido[3,2,1-ij]quinolin-4-one  
 INVENTOR(S): Cailliau, Nathalie; Davies, David Thomas; Eken, Joel; Mubely, Henneke; Alan Joseph; Fialakowski; Scherer, Senthil Kumar; Markwell, Roger Edwards; Miles, Timothy  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: PCT Int. Appl., 11pp.  
 COMBID: P18302  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
MO 2007081559	A2	20070719	MO 2006-0846023	20061017
US	AB, AG, AU, JP, KR, MX, RU, SG, TW, US, WO, ZA	20070719	US 2006-0846023	20061017
CA, CN, CO, CH, CY, CZ, DE, DK, DM, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
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BM, AT, BE, BG, BR, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IL, IN, IT, JP, KR, MX, MY, NZ, PL, PT, RU, SG, SI, SK, TH, TR, TW, US, WO, ZA				
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PRIORITY APPL. INFO.:  
 OTHER SOURCE(S):  
 GI MARIAT 147189180  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); USES (Uses) (antibacterial chromones)  
 BR 95465-02-TP  
 CH 28-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[1-[(1,2-oxo-2H-1-benzopyran-8-yl)ethyl]-4-pyridinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 6 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM (Continued)

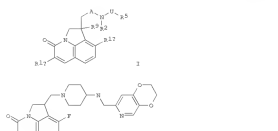


14 ANSWER 6 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM (Continued)

14 ANSWER 6 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM (Continued)

14 ANSWER 6 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM (Continued)

14 ANSWER 7 OF 19 CAPSUS COPYRIGHT 2008 ACS on STM (Continued)



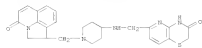
14406-90-0P  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); ACT (Reactant or reagent); USES (Uses) (antibacterial chromones)  
 BR 94406-90-0 CAPSUS  
 CH 4H-Pyrido[3,2-b]-1,4-thiazin-6-ylmethylamino-1-piperidinylmethyl-1,2-dihydro- (CA INDEX NAME)

14406-90-0P  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); ACT (Reactant or reagent); USES (Uses) (antibacterial chromones)  
 BR 94406-90-0 CAPSUS  
 CH 4H-Pyrido[3,2-b]-1,4-thiazin-6-ylmethylamino-1-piperidinylmethyl-1,2-dihydro- (CA INDEX NAME)

14406-90-0P  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); ACT (Reactant or reagent); USES (Uses) (antibacterial chromones)  
 BR 94406-90-0 CAPSUS  
 CH 4H-Pyrido[3,2-b]-1,4-thiazin-6-ylmethylamino-1-piperidinylmethyl-1,2-dihydro- (CA INDEX NAME)

14406-90-0P  
 EL PAC (Pharmacological activity); SPN (Synthetic preparation); THD (Therapeutic use); BGL (Biological study); PREP (Preparation); ACT (Reactant or reagent); USES (Uses) (antibacterial chromones)  
 BR 94406-90-0 CAPSUS  
 CH 4H-Pyrido[3,2-b]-1,4-thiazin-6-ylmethylamino-1-piperidinylmethyl-1,2-dihydro- (CA INDEX NAME)

- L4 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 (Therapeutic use); BOLD (Biological study); PREP (Preparation); USES (Uses)  
 [Erga, of dihydropyridoquinolizone derivs. as antibacterial agents]  
 IN 944405-07-3 CAPLUS  
 CH 48-Pyrido[3,2-b][1,5-a]quinoxaline-4-one, 1-[[4-[[[3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-1,2-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



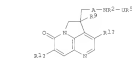
● C01

- L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 200710201 CAPLUS  
 DOCUMENT NUMBER: 147118205  
 TITLE: Heterocyclic compounds, their preparation and their use as antibacterials  
 INVENTOR(S): Sullivan, Nathaniel Davies, David Thomas; Hennessy, Alan Joseph; Jones, Graham Eigan Miles; Timothy James; Pearson, Neil David  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
 SOURCE: ECT Int. Appl., 10pp.  
 CODES: P16A2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC.: NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200701201	A1	20070628	WO 2006-084066	20061225
W: AU, AR, AT, AU, AT, BA, BE, BG, BR, BY, CA, CH, CN, CO, CU, DE, DK, EP, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KR, MA, MX, MY, NL, NO, NZ, PL, PT, RU, SE, SI, SK, TH, TR, UA, US, VE, VN, ZA, ZM, ZW				
IN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KR, MA, MX, NL, NO, NZ, PL, PT, RU, SE, SI, SK, TH, TR, UA, US, VE, VN, ZA, ZM, ZW				
CH, CO, CU, DE, DK, EP, ES, FI, FR, GB, GR, HU, IE, IL, IN, JP, KR, MA, MX, MY, NL, NO, NZ, PL, PT, RU, SE, SI, SK, TH, TR, UA, US, VE, VN, ZA, ZM, ZW				
US 2007185553	A1	20070809	US 2006-431114	20061225
PRIORITY APPL. INFO.			US 2005-751492	P 20051212
			US 2006-364977	P 20061112

OTHER SOURCE(S): MARPAT 147118205  
 01

- L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

- AB Triethyl nitrogen containing compds. of formula I and their use as antibacterials. Compound of formula I wherein R1a and R1b are independently H, halo, CN, C1-6 alkyl(thio), CF3, CF3O, carboxy, OH and derivs., H2C and derivs., etc.; R2 is H, C1-6 alkyl, etc.; R3 is (un)substituted 6-membered heterocycle; O is CO and CH3; R5 is (un)substituted bicyclic carboxylic and (un)substituted bicyclic heterocycle; R3 is F and OH; and their pharmaceutically acceptable salts, solvates and W-salts thereof, are claimed. Example compound II was prepared.

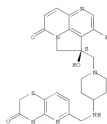
By a multistep procedure (procedure given). All the invention compds. were evaluated for their antibacterial activity. The tested compds. had MIC value 8 µg/ml.

- IT 843024-55-19 843024-75-7p  
 RE: POC (Pharmacological activity); RCT (Reactant); SMR (Synthetic preparation); TMT (Therapeutic use); BOLD (Biological study); PREP (Preparation); ANT (Reactant or reagent); USES (Uses)  
 [Preparation of pyridoquinolizone derivatives and their use as antibacterial agents]

- IN 843024-55-3 CAPLUS  
 CH 78-Pyrido[3,2-b][1,5-a]naphthylridan-7-one, 4-[[4-[[[3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-3-[1-oxo-4,5-dihydro-4-hydroxy-, (4S)- (CA INDEX NAME)

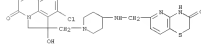
Absolute stereochemistry.

- L4 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



- IN 843024-75-7 CAPLUS  
 CH 78-Pyrido[3,2-b][1,5-a]naphthylridan-7-one, 3-[[4-[[[3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl]methyl]-4,5-dihydro-4-hydroxy-, acetate (1:1) (CA INDEX NAME)

- CH 1  
 CHN 843024-74-6  
 CHP C24 H25 Cl N6 O2 S



- CH 2  
 CHN 64-19-7  
 CHP C2 H4 O2

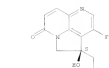


- IT 943024-54-29 943024-56-49 943024-75-59  
 943024-74-49 943025-52-39

14 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)  
 KL: PAC (Pharmacological activity); SPN [Synthesis preparation]; TWU (Therapeutic use); RIGL (Biological study); PREP (Preparation); USRS (Name).

[preparation of pyrazolnaphthylidones and their use as antimicrobial agents]  
 IN 941024-54-2 CAPLUS  
 CN 78-Pyrazolo[3,2,1-de][1,5]naphthylidin-7-one,  
 4-[[4-[[[7,4-dihydro-3-oxo-2H-pyrido[1,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl)methyl]-3-fluoro-4,5-dihydro-6-hydroxy-, hydrochloride (1:2), (4S)- (CA INDEX NAME)

Absolute stereochemistry.

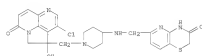


● 2 RCI

IN 941024-56-4 CAPLUS  
 CN 78-Pyrazolo[3,2,1-de][1,5]naphthylidin-7-one,  
 4-[[4-[[[7,4-dihydro-3-oxo-2H-pyrido[1,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl)methyl]-3-fluoro-4,5-dihydro-6-hydroxy-, hydrochloride (1:2), (4R)- (CA INDEX NAME)

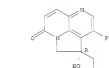
Absolute stereochemistry.

14 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)



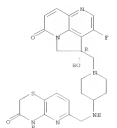
IN 941025-52-3 CAPLUS  
 CN 78-Pyrazolo[3,2,1-de][1,5]naphthylidin-7-one,  
 4-[[4-[[[7,4-dihydro-3-oxo-2H-pyrido[1,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl)methyl]-3-fluoro-4,5-dihydro-6-hydroxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



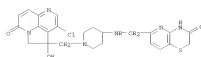
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

14 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM (Continued)



● 2 RCI

IN 943024-73-5 CAPLUS  
 CN 78-Pyrazolo[3,2,1-de][1,5]naphthylidin-7-one,  
 3-chloro-4-[[4-[[[7,4-dihydro-3-oxo-2H-pyrido[1,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl)methyl]-4,5-dihydro-6-hydroxy-, hydrochloride (1:2) (CA INDEX NAME)



● 2 RCI

IN 943024-74-6 CAPLUS  
 CN 78-Pyrazolo[3,2,1-de][1,5]naphthylidin-7-one,  
 3-chloro-4-[[4-[[[7,4-dihydro-3-oxo-2H-pyrido[1,2-b]-1,4-thiazin-6-yl)methyl]amino]-1-piperidinyl)methyl]-4,5-dihydro-6-hydroxy-, hydrochloride (1:2) (CA INDEX NAME)

14 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STM

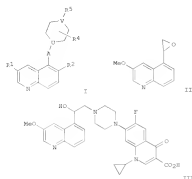
ACCESSION NUMBER: 2007467391 CAPLUS  
 DOCUMENT NUMBER: 146446172  
 TITLE: Preparation of 6-quinoxalinemethanols as antibacterial agents  
 INVENTOR(S): Dale, Glenn E.; Fieau, Sabine; Cappel, Mike; Gray, Christopher; Muehlestein, Christy; Surver, Jean-Philippe; Tumburn, Cora  
 PATENT ASSIGNEE(S): Kurzbanische Patentgesellschaft fuer Kombinatorische Chemie, Germany  
 SOURCE: RCT Int. Appl., 1999p.  
 COORD: FT3023  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007041235	A1	20070419	MO 3004-EP9923	20051013
WI, AU, AG, AL, AM, AT, AD, AS, BA, BS, BG, BR, BY, BE, CA, CH, CN, CO, CU, CY, CZ, DE, DM, DK, EC, EE, EG, ES, FI, FR, GB, GR, HU, IL, IN, JP, KR, KZ, LA, LC, LU, LV, LT, LU, LV, LY, MA, MD, MG, MN, MU, MW, MC, ME, MG, MK, MT, MU, MV, NA, NI, NE, NG, NO, NZ, OM, PA, PE, PG, PH, PL, PT, RO, RU, SA, SE, SG, SI, SK, SL, SM, SV, SZ, TH, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10200602649	A1	20071227	DE 2006-10200602649	20060622

PRIORITY APPL. INFO.: DE 2006-10200602649A 20060622

OTHER SOURCE(S): MOSPAT 146441672  
 G2

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. I [X1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; U, V = H,

CH<sub>3</sub>; R3; R4 = R<sup>4a</sup>; R<sup>4a</sup> = halo, OH, NH<sub>2</sub>, etc.; n = 0-2; R5 = alkyl, alkenyl, alkynyl, etc.; R6 = halo, OH, alkyl, etc.; A = NHCO, CONH<sub>2</sub>, etc.; R7 = H, CF<sub>3</sub>, alkyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, ciprofloxacin addition to epoxide II afforded

quinoloximeethanol III.

IT 934535-76-3P 934535-65-6P 934535-72-5P

934535-52-0P

ELI PAC [Pharmacological activity]; SPH [Synthetic preparation]; THU [Therapeutic use]; BCS [Biological study]; PDB [Preparation]; USP [Case]

[Preparation of 6-quinoloximeethanols as antimicrobial agents]

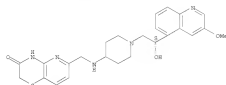
AB 934535-76-3 CAPLUS

CH 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-[(3-methoxy-5-quinolyl)ethyl]-4-piperidinyl]amino]methyl]-

Absolute stereochemistry.

L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

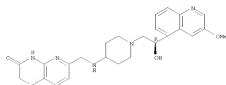
Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE FE

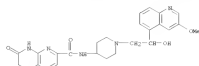
L4 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB 934535-65-6 CAPLUS

CH 28-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide, 7,4-dihydro-8-[[2-[(2-hydroxy-2-[(3-methoxy-5-quinolyl)ethyl]-4-piperidinyl]-3-oxo-

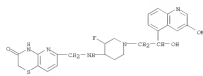
(CA INDEX NAME)



AB 934535-76-5 CAPLUS

CH 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3-fluoro-1-[(2-hydroxy-2-[(3-methoxy-5-quinolyl)ethyl]-4-piperidinyl]amino]methyl]-

(CA INDEX NAME)



AB 934535-52-0 CAPLUS

CH 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[(2R)-2-hydroxy-2-[(3-methoxy-5-quinolyl)ethyl]-4-piperidinyl]amino]methyl]-

(CA INDEX NAME)

L4 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007150178 CAPLUS

DOCUMENT NUMBER: 146123364

TITLE: Preparation of quinolone, quinazoline and naphthyridine derivatives as antimicrobial agents

INVENTOR(S): Daines, Robert A.; Price, Alan T.

PATENT ASSIGNMENT(S): Glaxo Group Limited, GB

SOURCE: PCT Int. Appl., 9pp.

COINVENTOR(S): CCORR, PTAB2

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

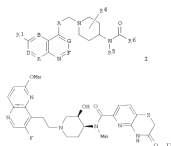
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024610	A2	20070208	WO 2004-053043	20040502
WO 2007024610	A2	20070208		
WI	AE, AG, AL, AM, AT, AU, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CU, CY, CZ, DE, DK, DM, DO, EC, EE, ES, FI, FR, GB, GR, GM, GU, HK, HU, ID, IL, IN, JP, KE, KG, KN, KR, KP, KZ, LA, LG, LK, LU, LV, LT, LI, LV, LY, MA, MD, MG, MN, MU, MW, MY, NZ, OC, OM, PA, PE, PG, PH, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SV, TJ, TH, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM			
BM	AT, BE, BG, BR, BY, CH, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IL, IT, LT, LU, LV, MA, MD, MG, MU, MW, NZ, OC, OM, PA, PE, PG, PH, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SV, TJ, TH, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM			
CF, CG, CI, CH, CM, CN, CO, GD, GM, GU, GW, ML, MR, NI, NG, NO, PE, PG, PH, PL, PT, RU, SC, SD, SE, SG, SI, SK, SM, SV, TJ, TH, TN, TR, TT, TZ, UA, US, UZ, VC, VN, ZA, ZM				

PRIORITY APPL. INFO.: US 2005-7045389 P 20050502

OTHER SOURCE(S): MARPAT 146123364

GI



14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The title compound, with general formula I [wherein A = (un)substituted CH<sub>2</sub>; R = H or (un)substituted CH<sub>2</sub>; two of D, E, F, and G = (un)substituted CH<sub>2</sub>, and the rest = CH; R<sub>1</sub> = H, CH, halo, OH, etc.; R<sub>2</sub> = H, OH, alkyl, etc.];  
 ES = (un)substituted C1-6 alkyl; R<sub>3</sub> = (un)substituted bicyclic carboxylic acid  
 or heterocyclic ring) or pharmaceutically acceptable salts or solvates thereof were prepared for the treatment of bacterial infections. For example, compound 11 was prepared in a multi-step synthesis. 11 showed antimicrobial activity with min. inhibitory concentration (MIC) values

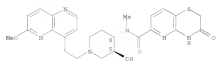
of 0.125 µg/mL and 0.03 µg/mL against *S. pyogenes* and *M. cat.*, resp.

11 924278-27-1P 924278-28-4P 924278-30-0P  
 924278-31-0P 924278-32-0P 924278-34-2P  
 924278-35-7P 924278-36-4P 924278-37-5P  
 924278-39-7P 924278-43-5P 924278-46-6P  
 924278-47-7P 924278-49-9P 924278-50-2P  
 924278-51-4P 924278-53-5P 924278-56-8P  
 924278-58-0P 924278-59-1P 924278-61-5P  
 924278-62-6P 924278-65-7P  
 11a POC (Pharmacological activity); SPN (Synthetic preparation); TEO (Toxicology study); BAC (Biological study); PREP (Preparation); URES (Uses)

11a POC (Preparation of quinoline, quinazoline and naphthyridine deriv. as antimicrobial agents)

11 924278-27-1 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[[3,4,8]-3-hydroxy-1-[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

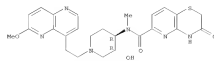
Absolute stereochemistry.



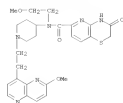
11 924278-28-4 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[[3,4,8]-3-hydroxy-1-[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

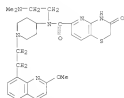


11 924278-30-0 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[[2-methoxy-1-[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)



11 924278-31-9 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[[2-(dimethylamino)ethyl]-3,4-dihydro-N-[[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

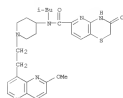


11 924278-32-0 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

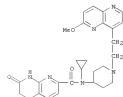


11 924278-34-2 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-8-(2-methylpropyl)-3-oxo- (CA INDEX NAME)

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



11 924278-35-3 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-pyrrolidyl-3,4-dihydro-N-[[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)



11 924278-36-4 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-ethyl-3,4-dihydro-N-[[2-(6-methoxy-1,5-naphthylidin-4-yl)ethyl]-4-piperidinyl]-3-oxo- (CA INDEX NAME)



14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

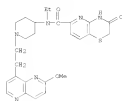


FIG 924278-37-5 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-(2-{3-fluoro-6-methoxy-1,5-naphthyridin-4-yl}ethyl)-3-hydroxy-4-piperidinyl]-3,4-dihydro-8-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

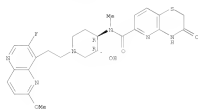


FIG 924278-39-7 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-(2-{3-fluoro-6-methoxy-1,5-naphthyridin-4-yl}ethyl)-4-piperidinyl]-3,4-dihydro-8-methyl-3-oxo- (CA INDEX NAME)

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

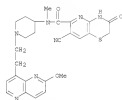


FIG 924278-47-7 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-(2-{6-methoxy-1,5-naphthyridin-4-yl}ethyl)-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

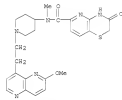


FIG 924278-49-9 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-(2-{6-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl)-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

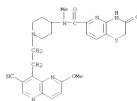


FIG 924278-43-7 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-(2-{3-fluoro-6-methoxy-1,5-naphthyridin-4-yl}ethyl)-4-piperidinyl]-3,4-dihydro-8-methyl-3-oxo- (CA INDEX NAME)

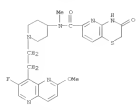


FIG 924278-46-4 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, 7-oxano-3,4-dihydro-N-[1-(2-{6-methoxy-1,5-naphthyridin-4-yl}ethyl)-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)

14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

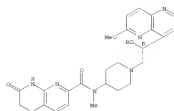


FIG 924278-50-2 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-(2-{3-chloro-6-methoxy-1,5-naphthyridin-4-yl}ethyl)-4-piperidinyl]-3,4-dihydro-8-methyl-3-oxo- (CA INDEX NAME)

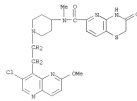
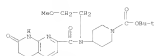


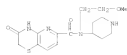
FIG 924278-52-4 CAPLUS  
 CN 28-Pyrado[7,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[1-(2-{6-methoxy-4-quinolyl}ethyl)-4-piperidinyl]-8-methyl-3-oxo- (CA INDEX NAME)



14 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

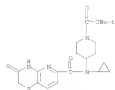


HN 924278-66-0 CAPLUS  
CN 28-Pyridyl-[2-yl]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-(2-methoxyethyl)-3-oxo-8-4-piperidinyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

HN 924278-74-0 CAPLUS  
CN 28-Pyridyl-[2-yl]-1,4-thiazine-6-carboxamide, N-cyclopentyl-3,4-dihydro-3-oxo-8-4-piperidinyl-, (CA INDEX NAME)



HN 924278-75-1 CAPLUS  
CN 28-Pyridyl-[2-yl]-1,4-thiazine-6-carboxamide, N-cyclopentyl-3,4-dihydro-3-oxo-8-4-piperidinyl-, (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

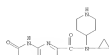
ACCESSION NUMBER: 20081155994 CAPLUS  
DOCUMENT NUMBER: 1481500768  
TITLE: Preparation of novel nitrogenated heterocyclic compounds as antimicrobial agents  
INVENTOR(S): Kiyoto, Taro; Tanaka, Tadashi; Tanoue, Yasuhiro; Ando, Junichi; Matsuo, Wai; Kaseguchi, Takanu; Noguchi, Toshiki; Ishiki, Yasuhiro; Ishiyama, Fumihito; Ueda, Hiroaki  
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan; Taiho Pharmaceutical Co., Ltd.  
SOURCE: PCT Int. Appl., 50pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNTRY: 1  
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004137485	AL	20041228	WO 2006-07912515	20060422
W: AU, AG, AL, AM, AR, AS, AT, AU, BA, BB, BG, BR, BS, BY, CA, CB, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GR, GU, HK, HU, IL, IN, JP, KR, KZ, LB, LU, LV, LY, MA, MC, MD, ME, MG, MK, MN, MU, MV, MY, NZ, OM, OS, PA, PG, PH, PK, PL, PT, RO, RS, RU, SD, SE, SG, SI, SK, SL, SM, SN, SV, TH, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
NON AU, BA, BR, CA, CH, CN, CO, CR, CU, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GR, GU, HK, HU, IL, IN, JP, KR, KZ, LB, LU, LV, LY, MA, MC, MD, ME, MG, MK, MN, MU, MV, MY, NZ, OM, OS, PA, PG, PH, PK, PL, PT, RO, RS, RU, SD, SE, SG, SI, SK, SL, SM, SN, SV, TH, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
PRIORITY AFFILI. INFO:			JP 2005-184342	A 20050624
			JP 2006-76850	A 20060320

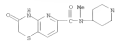
OTHER SOURCE(S): MANKINT 146:100726

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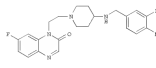
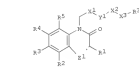
14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



HN 924278-81-9 CAPLUS  
CN 28-Pyridyl-[2-yl]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-methyl-3-oxo-8-4-piperidinyl-, (CA INDEX NAME)



14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

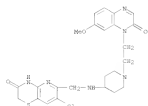


AB Nitrogenated heterocyclic compds., i.e. 1,2-dihydroquinolin-2-one and 1,2-dihydroquinolin-2-one derivs. represented by the general formula (I);  
the broken line = a single or double bond; R1-R5 = H, halogen atom, SO<sub>2</sub>, NO<sub>2</sub>, CN, (unprotected NH), lower alkyl, cycloalkyl, aryl, lower alkoxy, cycloalkoxy, aralkoxy, alkoxy, ureido, or (un)substituted heterocyclic group, etc.; R6 = each (un)substituted lower alkyl, aryl, or memo-, di-, or triethyl heterocyclic group; R7 = (un)substituted lower alkylene; R8 = each (un)substituted lower alkylene, lower alkenylene, or lower alkynylene; R9 = O, S, SO(2), SO(2), (un)substituted NH; Y1 = pyridic group containing a bivalent nitrogen which may be substituted; R2 = nitrogen or (un)substituted CH; or salts thereof are prepared. These compds. or salts have a potent antibacterial activity and a high safety, and are therefore useful as excellent antibacterial agents. Thus, reductive alkylation of 1-[2-(4-aminopiperidin-1-yl)ethyl]-7-fluoroquinolin-2(1H)-one by 2-fluoro-4-methylbenzaldehyde and sodium triacetophenylborohydride in the presence of NaOH at room temperature overnight followed by treatment of the product solution as CRI13 with 4 M HCl/EtOAc gave 1-[2-[4-[(2-fluoro-4-methylbenzyl)amino]piperidin-1-yl]ethyl]-7-fluoroquinolin-2(1H)-one (22). hydrochloride. II hydrochloride showed max. inhibitory concentration of 0.0156 μg/mL against *Staphylococcus aureus* FRA209P and methicillin-resistant *S. aureus* F-3095.

917873-22-47, 1-[2-[4-[(11-Chloro-3-oxo-3,4-dihydro-2H-pyridin-2-yl)-1,4-thiazine-6-yl]methylamino]piperidin-1-yl]ethyl-7-methoxyquinolin-2(1H)-one 917872-41-3P, tert-Butyl N-[11-chloro-3-oxo-3,4-dihydro-2H-pyridin-2-yl]-1,4-thiazine-6-yl]methyl-1-[12-17-methoxy-2-oxo-1,2-dihydroquinolin-3-yl]methylpiperidin-4-yl]acetate

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)  
 917832-42-9F, tert-Butyl N-[[7-chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]-1-[1-[2-(7-methoxy-2-oxo-1,2-dihydroquinolin-3-yl)ethyl]piperidin-4-yl]acetate  
 RE PAC (Pharmacological activity); RCT (Reagent); BPH (Synthetic preparation); TPT (Therapeutic use); BOLD (Biological study); PREP (Preparation); FACT (Reagent or reagent); USES (Uses)  
 [Prepn. of 1,2-dihydroquinolin-3-one and 1,2-dihydroquinolin-3-one derivative, as antimicrobial agents]

FN 917832-22-6 CAPLUS  
 CH 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[1-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

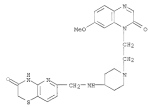


FN 917832-41-8 CAPLUS  
 CH Carbamic acid,  
 N-[[7-chloro-1,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-ylmethyl]-N-[5-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]-, 1,1-dimethylhyal ester (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

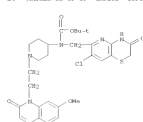
1-[2-[4-[[1-(7-chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinolin-3(1H)-one hydrochloride 917832-47-4P, 1-[2-[4-[[1-(7-chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-fluorquinolin-3(1H)-one 917832-48-5P, 1-[2-[4-[[1-(7-chloro-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-fluorquinolin-3(1H)-one hydrochloride 917832-49-6P, 7-fluoro-1-[2-[4-[[1-(7-oxo-2,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]piperidin-1-yl]ethyl]quinolin-3(1H)-one 917832-50-9P, 7-fluoro-1-[2-[4-[[1-(7-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]quinolin-3(1H)-one hydrochloride 917832-54-3P, 1-[2-[4-[[1-(2,3-dihydro-4H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinolin-3(1H)-one 917832-57-4P, 1-[2-[4-[[1-(2,3-dihydro-4H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinolin-3(1H)-one hydrochloride  
 RE PAC (Pharmacological activity); BPH (Synthetic preparation); TPT (Therapeutic use); BOLD (Biological study); PREP (Preparation); USES (Uses)  
 [Prepn. of 1,2-dihydroquinolin-3-one and 1,2-dihydroquinolin-3-one derivative, as antimicrobial agents]

FN 917845-41-0 CAPLUS  
 CH 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[1-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

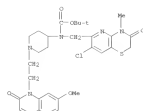


FN 917850-38-4 CAPLUS  
 CH 4-Quinoxalinecarboxamide,  
 1-[2-[4-[[1-[3,4-dihydro-2-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl]methyl]amino]-4-piperidinyl]ethyl]-1,2-dihydro-7-methoxy-2H-pyrido[3,2-oxo- (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

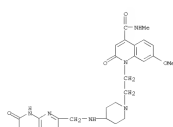


FN 917832-42-9 CAPLUS  
 CH Carbamic acid, N-[[7-chloro-1,4-dihydro-4-methyl-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl]methyl]-N-[1-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]-, 1,1-dimethylhyal ester (CA INDEX NAME)

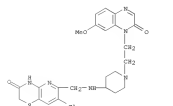


IT 917742-41-0P, 6-[[1-[2-(7-methoxy-2-oxo-1,2-dihydroquinolin-3-yl)ethyl]piperidin-6-yl]amino]methyl]-2H-pyrido[3,2-b][1,4]thiazin-3(4H)-one 917832-55-4P, 7-methoxy-N-methyl-1-[2-[4-[[1-(7-oxo-2,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-1,2-dihydroquinolin-4-carboxamide 917832-24-7P, 1-[2-[4-[[1-(7-chloro-3-oxo-1,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinolin-3(1H)-one hydrochloride 917832-43-0P, 1-[2-[4-[[1-(7-chloro-4-methyl-3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-ylmethyl]amino]piperidin-1-yl]ethyl]-7-methoxyquinolin-3(1H)-one 917832-44-1P,

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



FN 917832-24-7 CAPLUS  
 CH 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[1-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



FN 917832-43-0 CAPLUS  
 CH 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[1-[2-(7-methoxy-2-oxo-1(2H)-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-4-methyl- (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

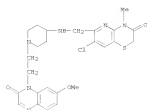
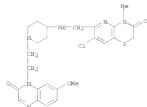


FIG 217832-44-1 CAPLUS

CS 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-methoxy-2-oxo-1(2H)-quinazolinyl)ethyl]-4-piperidinyl]amino]methyl]-4-methyl-, hydrochloride (1:17) (CA INDEX NAME)



●, HCl

FIG 217832-47-4 CAPLUS

CS 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinazolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

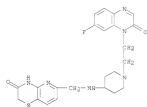
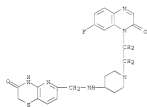


FIG 217832-50-3 CAPLUS

CS 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinazolinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:17) (CA INDEX NAME)



●, HCl

FIG 217832-56-3 CAPLUS

CS 2(1H)-Quinoxaline, 1-[2-{4-[[[1-(4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-5-piperidinyl]ethyl]-7-methoxy- (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

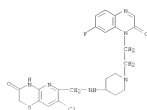
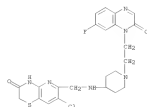


FIG 217832-48-5 CAPLUS

CS 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinazolinyl)ethyl]-4-piperidinyl]amino]methyl]-, hydrochloride (1:17) (CA INDEX NAME)



●, HCl

FIG 217832-49-6 CAPLUS

CS 2H-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[1-[2-(7-fluoro-2-oxo-1(2H)-quinazolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

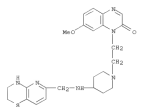
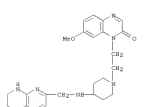


FIG 217832-97-4 CAPLUS

CS 2(1H)-Quinoxaline, 1-[2-{4-[[[1-(3,4-dihydro-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)methyl]amino]-5-piperidinyl]ethyl]-7-methoxy-, hydrochloride (1:17) (CA INDEX NAME)



●, HCl

REFERENCE COPY:

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THERE ARE 45 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

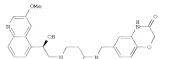
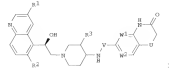


14 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
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 INVENTOR(S): Haschewitz, Christian; Sulist, Jean-Philippe  
 PATENT ASSIGNER(S): Sanofi-Sintelabo, S.A.  
 SOURCE: PCT Int. Appl., 33pp.  
 CLASS. NO.: C07D 401/00  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNTRY: 1  
 PATENT INFORMATION: 1

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 GI: NUSPAT 145137760

14 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

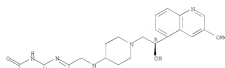


AB This invention concerns antimicrobially active compounds of the formula I. Compounds of formula I wherein R1 is alkyl, alkoxy, halo and epoxy R2 and R3 are independently H and halo, one of the symbols W1 and W2 is CH and the other represents CH or H or W is H or O and W is CO or CH2 and their optically pure enantiomers, mixtures of enantiomers, racemates, optically pure diastereoisomers, mixtures of diastereoisomers, diastereoisomeric racemates, mixtures of diastereoisomeric racemates, meso forms, pharmaceutically acceptable acid addition salts, solvent complexes and morphol forms thereof are claimed. Example compound II was prepared by bromination of 3-bromoquinoline; the resulting 7,5-dibromoquinoline underwent substitution to give 5-bromo-3-methoxyquinoline, which underwent

formylation to give 3-methoxyquinoline-5-carboxaldehyde, which underwent amination to give 3-methoxy-5-(piperidin-1-yl)quinoline, which underwent acyl dihydroxylation; the resulting (1b)-1-(3-methoxyquinolin-5-yl)ethane-1,2-diol underwent acylation with p-toluenesulfonyl chloride to give (2b)-toluene-4-sulfonic acid 2-hydroxy-2-(3-methoxyquinolin-5-yl)ethyl ester, which underwent epoxidation to give 3-methoxy-5-(2b)-toluene-4-sulfonate-2-yl)quinoline, which underwent ring opening with piperidin-4-carboxylic acid benzyl ester to give the corresponding quaternary piperidine derivative, which underwent hydrogenation to give (2b)-2-(4-aminopiperidin-1-yl)-1-(3-methoxyquinolin-5-yl)ethanol, which underwent reductive amination with 3-oxo-7,4-dihydro-2H-benzol[1,4]oxazine-6-carboxaldehyde to give compound 11. All the invention compounds were evaluated for their antibacterial activity. All the tested compounds showed good activity

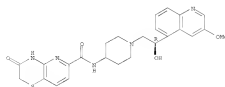
14 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 against several bacteria.  
 IT 510858-85-39 CAPLUS  
 ELI PHC (Pharmaceutical activity); STN (Synthetic preparation); TBU (Therapeutic use); RSC (Biological study); PREP (Preparation); USGS (Uses)  
 (drug candidate preparation of quinolonyl  $\beta$ -amino alcohols as antibiotics)  
 EN 510858-85-39 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-5-carboxamide, 3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyloxy)ethyl]-4-piperidinyloxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



EN 510858-85-39 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-5-carboxamide, 3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyloxy)ethyl]-4-piperidinyloxy]methyl]- (CA INDEX NAME)

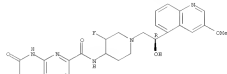
Absolute stereochemistry.



EN 510858-85-39 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-5-carboxamide, N-[1-(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyloxy)ethyl]-4-piperidinyloxy]methyl]- (CA INDEX NAME)

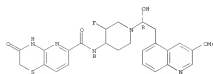
Absolute stereochemistry.

14 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 510858-85-40  
 ELI PHC (Pharmaceutical); PREP (Preparation)  
 (regioisomeric byproduct; preparation of quinolonyl  $\beta$ -amino alcohols as antibiotics)  
 EN 510858-85-40 CAPLUS  
 CH 28-Pyrido[3,2-b]-1,4-thiazine-5-carboxamide, N-[1-(2R)-2-hydroxy-2-(3-methoxy-5-quinolinyloxy)ethyl]-4-piperidinyloxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE FOR THIS RECORD.

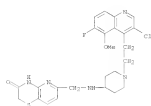
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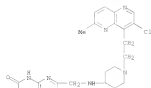




14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

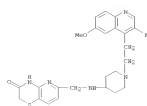


FN 724783-78-4 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-chloro-6-methyl-1,5-naphthylidene-4-yl)ethyl]-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

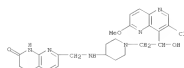


FN 724788-04-9 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



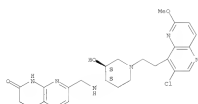
FN 724788-13-2 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)-2-hydroxyethyl]-4-piperidinyl]amino)methyl)- (CA INDEX NAME)



FN 724788-24-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

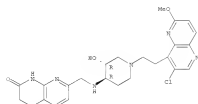
Absolute stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



FN 724788-30-1 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

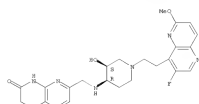
Absolute stereochemistry.



FN 724788-89-0 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

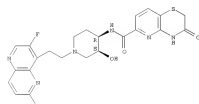
Absolute stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



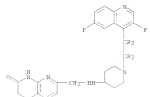
FN 724788-81-4 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-([1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

Absolute stereochemistry.



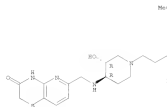
FN 724790-04-9 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl)- (CA INDEX NAME)

L4 ANEXA 16 OF 19 CAPULS COPYRIGHT 2008 ACS ON STN (Continued)



724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

Absolute stereochemistry.



724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

Absolute stereochemistry.



724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

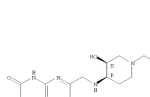
724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

Habe

L4 ANEXA 16 OF 19 CAPULS COPYRIGHT 2008 ACS ON STN (Continued)



724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

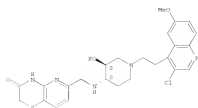
724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

724790-16-1 CAPULS  
28-Pyrrolidin-2-yl-1,4-bis(3-chloro-6-methoxy-4-(4-chlorophenyl)-1-piperidin-4-yl)amino]methyl]-1,4-DIURETIC

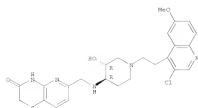


14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



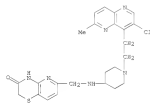
XXI 724787-59-3 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



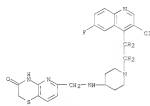
XXI 724787-64-3 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



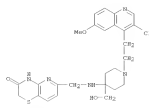
● 2, XCI

XXI 724787-81-3 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-fluoro-4-quinolyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

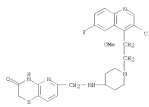


XXI 724787-82-2 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3,6-dichloro-4-quinolyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



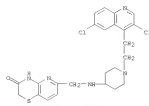
XXI 724787-65-3 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-fluoro-5-methoxy-4-quinolyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (XCI) (CA INDEX NAME)



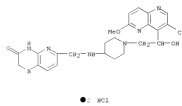
● 2, XCI

XXI 724787-71-7 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-methyl-1,5-naphthylidene-6-ylethyl)-4-piperidinyl]amino]methyl]-, dihydrochloride (XCI) (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



XXI 724788-14-1 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-methoxy-1,5-naphthylidene-4-ylethyl)-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (XCI) (CA INDEX NAME)

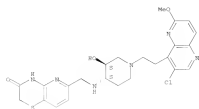


● 2, XCI

XXI 724788-20-9 CAPLUS  
 CXI 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1,2-(3-chloro-6-methoxy-1,5-naphthylidene-4-ylethyl)-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (XCI) (CA INDEX NAME)

Absolute stereochemistry.

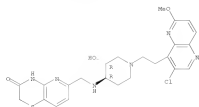
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

724788-27-6 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4b)-one, 6-[[[13R,4b)-1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (PCI) (CA INDEX NAME)

Absolute stereochemistry.

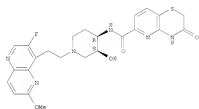


● 2 HCl

724788-83-4 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 7-fluoro-8-[[1-[2-(3-fluoro-6-methoxy-

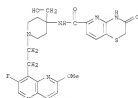
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



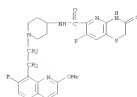
● 2 HCl

724789-53-1 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)



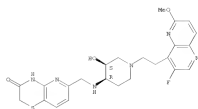
724789-67-5 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-4-quinolonyl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



724788-87-8 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4b)-one, 6-[[[13R,4b)-1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (PCI) (CA INDEX NAME)

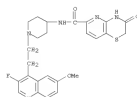
Absolute stereochemistry.



● 2 HCl

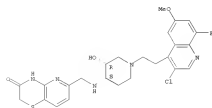
724788-90-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (PCI) (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



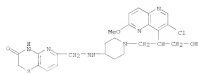
724789-68-8 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4b)-one, 6-[[[13R,4b)-1-[2-(3-chloro-6-fluoro-6-methoxy-4-quinolonyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

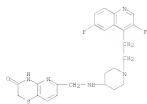


724789-90-5 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4b)-one, 6-[[[11-[2-(3-chloro-6-methoxy-1,5-naphthylidene-6-yl)-3-hydroxypropyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



XX 724790-00-3 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[2-(3,6-difluoro-4-quinolyl)ethyl]-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1)  
[CA INDEX NAME]

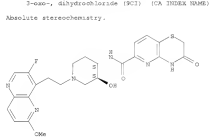


● 2 HCl

XX 724790-11-8 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3S,4S]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

Absolute stereochemistry.

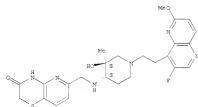
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

XX 724790-16-5 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3S,4S]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

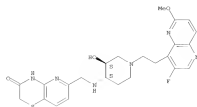
Relative stereochemistry.



XX 724790-35-6 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3R,4R]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

Relative stereochemistry.

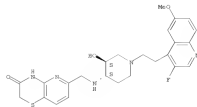
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

XX 724790-16-3 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3S,4S]-1-[2-(3-fluoro-4-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

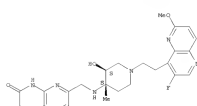
Absolute stereochemistry.



● 2 HCl

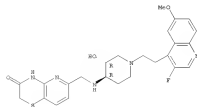
XX 724790-17-4 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3R,4R]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



XX 724790-55-8 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3R,4R]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

Absolute stereochemistry.

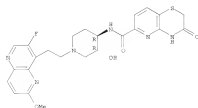


● 2 HCl

XX 724790-57-2 CAPLUS  
CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-([1-[3R,4R]-1-[2-(3-fluoro-4-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino)methyl]-, dihydrochloride (PC1) [CA INDEX NAME]

Absolute stereochemistry.

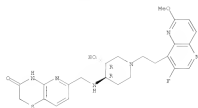
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● S, HCl

321 724790-58-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-fluoro-4-methoxy-1,5-naphthyridin-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

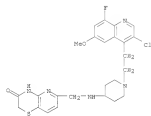
Absolute stereochemistry.



321 724790-66-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-, (S,S)- (CA INDEX NAME)

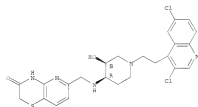
Relative stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



321 724790-86-7 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

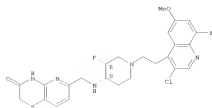
Absolute stereochemistry.



321 724790-90-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

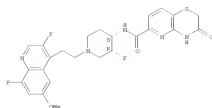
Absolute stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



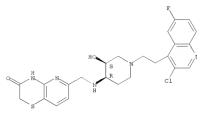
321 724790-49-6 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-fluoro-4-piperidinyl]-3,4-dihydro-2-oxo-, (S,S)- (CA INDEX NAME)

Relative stereochemistry.



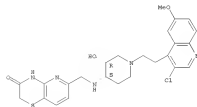
321 724790-79-8 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



321 724791-24-6 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (S,S)- (CA INDEX NAME)

Absolute stereochemistry.



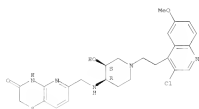
● S, HCl

321 724791-25-7 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[[[3R,4R)-1-[2-(3-chloro-8-fluoro-6-methoxy-4-quinoliny)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (S,S)- (CA INDEX NAME)

Absolute stereochemistry.



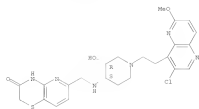
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 9 C1

RR 724791-28-0 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) [CA INDEX NAME]

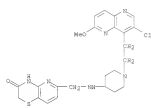
Absolute stereochemistry.



● 9 C1

RR 724791-29-3 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-1,5-naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9C1) [CA INDEX NAME]

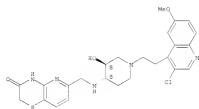
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
 naphthylidene-4-yl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) [CA INDEX NAME]



● 9 C1

RR 724791-34-8 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9C1) [CA INDEX NAME]

Absolute stereochemistry.



● 9 C1

RR 724791-36-0 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9C1) [CA INDEX NAME]

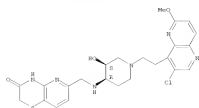
Absolute stereochemistry.

Habt

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

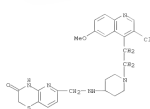
, dihydrochloride (9C1) [CA INDEX NAME]

Absolute stereochemistry.



● 9 C1

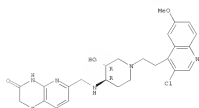
RR 724791-30-4 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9C1) [CA INDEX NAME]



● 9 C1

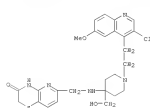
RR 724791-32-6 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, trihydrochloride (9C1) [CA INDEX NAME]

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 9 C1

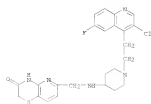
RR 724791-30-2 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) [CA INDEX NAME]



● 9 C1

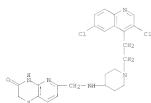
RR 724791-40-6 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[3R,4R)-1-[2-(3-chloro-6-methoxy-4-quinolyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) [CA INDEX NAME]

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



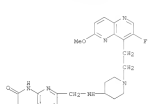
● 2 HCl

ZN 724791-43-9 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3,6-dichloro-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1)  
 (CA INDEX NAME)



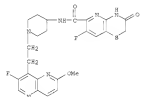
● 2 HCl

ZN 724791-45-1 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1)  
 (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
(9C1) (CA INDEX NAME)

● 2 HCl

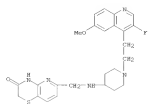
ZN 724791-63-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, dihydrochloride (9C1) (CA INDEX NAME)



● 2 HCl

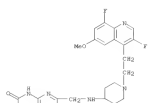
ZN 724791-65-5 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, dihydrochloride (9C1) (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

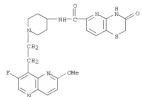
ZN 724791-52-0 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1)  
 (CA INDEX NAME)



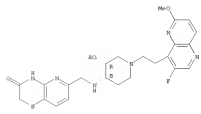
● 2 HCl

ZN 724791-60-0 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



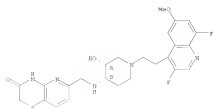
ZN 724791-68-8 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) (CA INDEX NAME)  
 Absolute stereochemistry.



● 2 HCl

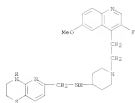
ZN 724791-71-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(3-fluoro-6-methoxy-4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9C1) (CA INDEX NAME)  
 Absolute stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



● 2 HCl

XX 724792-79-0 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-6-methanamine,  
N-[1-[[2-[3-fluoro-6-methoxy-4-quinolyl]ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

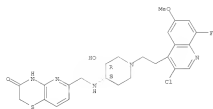


● 2 HCl

XX 724792-85-9 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-[[2-[3-fluoro-6-methoxy-1,5-naphthylidin-4-yl]ethyl]-4-(hydroxymethyl)-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

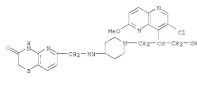
14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

Absolute stereochemistry.



● 2 HCl

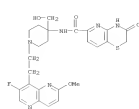
XX 724792-91-7 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[[2-[3-chloro-6-methoxy-1,5-naphthylidin-4-yl]-3-hydroxy-4-piperidinyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

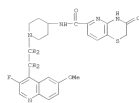
XX 724792-91-3 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide, N-[1-[[2-[3-fluoro-6-methoxy-1,5-naphthylidin-4-yl]ethyl]-3-hydroxy-4-piperidinyl]-3,4-dihydro-3-oxo-, hydrochloride (9CI) (CA INDEX NAME)  
Absolute stereochemistry.

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



● HCl

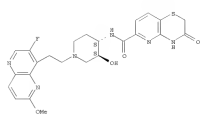
XX 724791-87-3 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide,  
N-[1-[[2-[3-fluoro-6-methoxy-4-quinolyl]ethyl]-4-piperidinyl]-3,4-dihydro-3-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

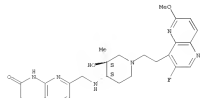
XX 724791-89-3 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[[2-(4S,4S)-1-[2-[3-chloro-6-fluoro-6-methoxy-4-quinolyl]ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

14 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



● HCl

XX 724791-98-4 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[[2-(4S,4S)-1-[2-[3-fluoro-6-methoxy-1,5-naphthylidin-4-yl]ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-, dihydrochloride, xel- (9CI) (CA INDEX NAME)  
Relative stereochemistry.



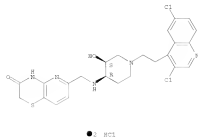
● 2 HCl

XX 724792-90-1 CAPLUS  
CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[[2-(4S,4S)-1-[2-[3-fluoro-6-methoxy-1,5-naphthylidin-4-yl]ethyl]-3-hydroxy-4-methyl-4-piperidinyl]amino]methyl]-, dihydrochloride, xel- (9CI) (CA INDEX NAME)  
Relative stereochemistry.



L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1(3S,4R)-1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-2-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (PC1) (CA INDEX NAME)

Absolute stereochemistry.

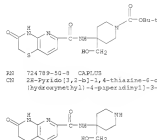


HN 724792-33-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1(3S,4R)-1-[2-(3-chloro-6-fluoro-4-quinolinyl)ethyl]-3-hydroxy-4-piperidinyl]amino]methyl]-, dihydrochloride (PC2) (CA INDEX NAME)

Absolute stereochemistry.



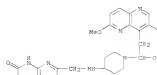
L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)  
 CN 1-piperidinecarboxylic acid, 6-[[[1(4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazin-6-yl)oxy]methyl]amino]-4-(hydroxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



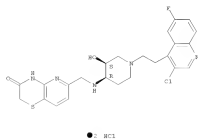
IT 724789-50-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, 3,4-dihydro-N-[4-(hydroxymethyl)-4-piperidinyl]-3-oxo- (CA INDEX NAME)

IT 724789-50-3P  
 3&1 SUP (Synthetic preparation); PREP (Preparation)  
 (secondary product); preparation of quinolines and 1,5-naphthyridines  
 as antimicrobial agents

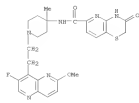
IT 724789-50-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-(2-(3-chloro-6-methoxy)-5-naphthyl)ethyl]-1-hydroxyethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



L4 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



HN 724792-36-3 CAPLUS  
 CN 28-Pyrido[3,2-b]-1,4-thiazine-6-carboxamide, N-[1-[2-(3-fluoro-6-methoxy-1,5-naphthyridin-6-yl)ethyl]-2-methyl-4-piperidinyl]-3,4-dihydro-3-oxo- (CA INDEX NAME)



IT 724789-48-4P, 1,1-Dimethylethyl 4-(hydroxymethyl)-4-[[[3-oxo-2,6-dihydro-2H-pyrido[3,2-b][1,4]thiazin-6-yl]oxycarbonyl]amino]-1-piperidinecarboxylate 724789-50-8P, N-[4-(Hydroxymethyl)-4-piperidinyl]-2-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxamide HCl (Reactant); SUP (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (Intermediate; preparation of quinolines and 1,5-naphthyridines as antimicrobial agents)

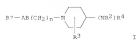
HN 724789-48-4 CAPLUS

L4 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN  
 ACCESSION NUMBER: 2004/04052 CAPLUS  
 140/04052  
 TITLE: Preparation of [[piperidyl]-2-...  
 N-[1-(4-thiazinyl)methyl]amino]piperidines and analogs as antimicrobial agents  
 INVENTOR(S): Amey, Jeffrey Michael; Dames, Robert A.; Davane, David Thomas; Gallagher, Timothy Francis; Jones, Graham Edwin; Muller, William Henry; Pearson, Neil David; Pendrak, Tzalai  
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK  
 SOURCE: ECT Int. Appl., 14 pp.  
 CDBH: FICL02  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNTRY: 1  
 PATENT INFORMATION: 1

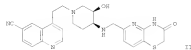
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002490	A2	20040108	WO 2003-EP6754	20030625
WO 2004002490	A3	20051027		
US 2003238054	A1	20040119	NO 2003-238054	20030625
EP 1583537	A2	20051012	EP 2003-735485	20030625
EP 1583537	A3	20051214		
JP 200505585	T	20040016	JP 2004-516496	20030625
US 2006058287	F1	20060316	US 2005-518655	20050714
PRIORITY APPL. INFO.			US 2002-391760P	20030625
			WO 2003-EP6754	20030625

OTHER SOURCE(S): NAXPAT 140/94052  
 GE

14 ANSWER 17 OF 19 CAPLOS COPYRIGHT 2008 ACS ON STN (Continued)



I



II

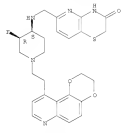
AB Title compounds: I [wherein RA = (un)substituted heterocyclic carbocycle, heterocycle; R2 = H, or (un)substituted alkyl, alkenyl; R3 = S, carbonyl, alkoxyalkenyl, aminoalkenyl, etc.; R4 = OR3; O = CO, SO2, CH2; R5 = (un)substituted heterocyclic carbocycle or heterocycle; n = 0-3; AB = aminoalkenyl, alkoxyalkenyl, aminoalkenyl, etc.; and pharmaceutically acceptable derivative, thereof] were prepared as antibacterial agents. For example, reductive amination of 6-[2-[(1R,4R)-4-amino-3-hydroxy-1-piperidinyl]ethyl]-6-quinolinecarboxamide (•)•HCl with 5-oxo-4,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-2-carboxaldehyde afforded II in 10% yield. (•)•HCl had MIC < 2 μg/ml against bacterial infections, such as S. epidermidis CL7. Thus, I and their pharmaceutically acceptable salts are useful for the treatment of bacterial infections in mammals, particularly in humans.

IT 64477-72-3P  
 RI: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PTP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PFOC (Process); USES (Uses)  
 Preparation of  
 [[(pyridin-3-yl)[1,4]thiazinyl]methyl]amino]piperidine and analogs as antibacterial agents  
 RU 64477-72-3 CAPLOS  
 CN 2H-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-hydroxy-2-(3-methoxy-5-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

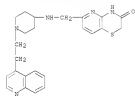
14 ANSWER 17 OF 19 CAPLOS COPYRIGHT 2008 ACS ON STN (Continued)

CN 2H-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RU 64477-74-7P CAPLOS  
 CN 2H-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

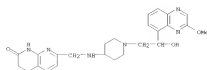


••• HCl

RU 64477-78-9 CAPLOS  
 CN 6-Quinolinesuccinimide[3], 4-[2-[(1R,4R)-4-[[[3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazine-6-yl]methyl]amino]-3-hydroxy-3-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

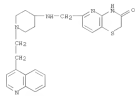
Absolute stereochemistry.

14 ANSWER 17 OF 19 CAPLOS COPYRIGHT 2008 ACS ON STN (Continued)



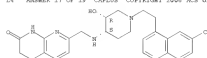
••• HCl

IT 64478-79-3P  
 RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PACT (Reactant or reagent); USES (Uses)  
 Preparation of  
 [[(pyridin-3-yl)[1,4]thiazinyl]methyl]amino]piperidine and analogs as antibacterial agents  
 RU 64478-79-3 CAPLOS  
 CN 2H-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-[2-(4-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)



IT 577692-24-3P 642477-74-7P 642477-78-9P  
 642477-80-3P 642478-35-3P 642478-36-2P  
 642478-37-3P 642478-40-8P  
 RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 Preparation of  
 [[(pyridin-3-yl)[1,4]thiazinyl]methyl]amino]piperidine and analogs as antibacterial agents  
 RU 577692-24-3 CAPLOS

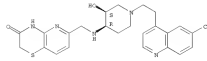
14 ANSWER 17 OF 19 CAPLOS COPYRIGHT 2008 ACS ON STN (Continued)



••• HCl

RU 642477-80-3 CAPLOS  
 CN 6-Quinolinesuccinimide, 4-[2-[(1R,4R)-4-[[[3,4-dihydro-3-oxo-2H-pyrido[3,2-b]-1,4-thiazine-6-yl]methyl]amino]-3-hydroxy-3-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

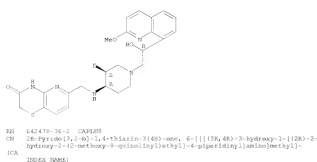


••• HCl

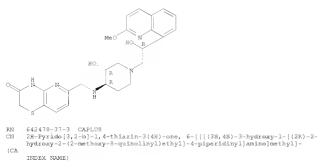
RU 642478-35-1 CAPLOS  
 CN 2H-Pyrido[3,2-b]-1,4-thiazine-3(4H)-one, 6-[[[1-(5,6R)-3-fluoro-1-(2R)-2-hydroxy-2-(5-methoxy-8-quinolinyl)ethyl]-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

14 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)



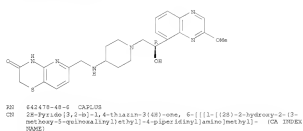
Absolute stereochemistry.



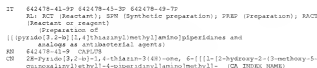
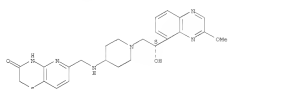
Absolute stereochemistry.

14 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

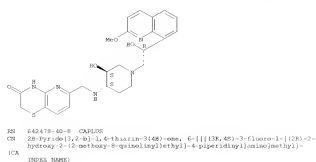
Absolute stereochemistry.



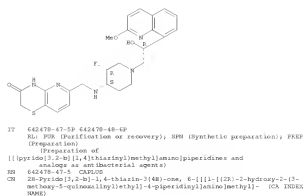
Absolute stereochemistry.



14 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

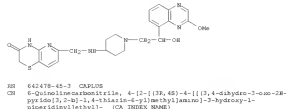


Absolute stereochemistry.

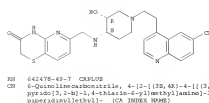


14 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

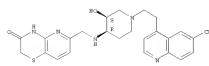
Absolute stereochemistry.



Absolute stereochemistry.



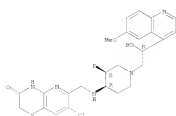
Absolute stereochemistry.





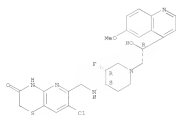


14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 577691-73-0 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-chloro-6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

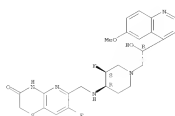
Absolute stereochemistry.



HN 577691-76-0 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

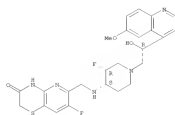
Absolute stereochemistry.

14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 577691-77-3 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

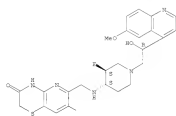
Absolute stereochemistry.



HN 577691-91-9 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

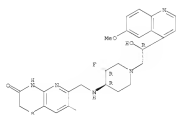
Absolute stereochemistry.

14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 577691-92-0 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

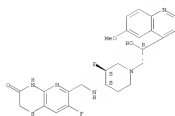
Absolute stereochemistry.



HN 577691-99-6 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

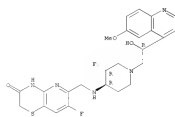
Absolute stereochemistry.

14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



HN 577691-99-7 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 7-fluoro-6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

Absolute stereochemistry.

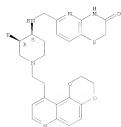


HN 577692-06-9 CAPLUS  
CN 26-Pyrido[3,2-b]-1,4-thiazin-3(4H)-one, 6-[[[(13R,4S)-3-fluoro-1-[(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthylidene-4-yl)ethyl]-4-piperidinyl]amino]methyl]-4-piperidinyl]amino]methyl)- (CA INDEX NAME)

Absolute stereochemistry.

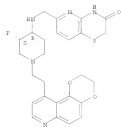


14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IN 577692-25-2 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one,  
 6-[[[125,48]-1-[2-[(2,3-dihydro-4-quinolonyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]-  
 (CA INDEX NAME)

Absolute stereochemistry.

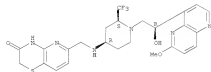


IN 577692-32-1 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one,  
 6-[[[125,48]-1-[2-[(6,8-difluoro-4-quinolonyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[125,48]-1-[2-[(trifluoromethyl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

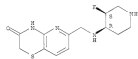
Absolute stereochemistry.



IT 577691-57-7P, rel-(2R,4R)-3-Fluoro-6-[[[13-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-yl]methyl]amino]piperidine  
 577691-59-1P  
 RL NCT (Neatant); SYN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 [preparation of aminopiperidine deriva. for treatment of bacterial infections]

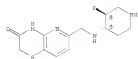
IN 577691-57-7 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[125,48]-3-fluoro-4-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IN 577691-23-1 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[125,48]-3-fluoro-4-piperidinyl]amino]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



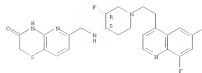
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2/19/2008

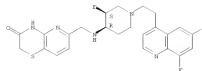
14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.



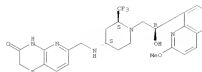
IN 577692-33-2 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one,  
 6-[[[125,48]-1-[2-[(6,8-difluoro-4-quinolonyl)ethyl]-3-fluoro-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IN 577692-40-1 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[125,48]-1-[2-[(2-hydroxy-2-(6-methoxy-1,5-naphthylidene-4-yl)ethyl]-2-(trifluoromethyl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IN 577692-41-2 CAPLUS  
 CN 28-Pyrido[7,2-b]-1,4-thiazine-3(4H)-one, 6-[[[125,48]-1-[2-[(2-hydroxy-2-(6-methoxy-1,5-naphthylidene-4-yl)ethyl]-2-(trifluoromethyl)-4-piperidinyl]amino]methyl]- (CA INDEX NAME)

14 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

4-hydrochloride 443956-43-2P, (R)-2-[4-[[13,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-ylmethyl]amino]piperidin-1-yl]-1-(6-methoxy-1,5-naphthyridin-4-yl)ethanol dihydrochloride 443956-46-3P, 3-Oxo-3,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-carboxylic acid [1-(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-ylamide dihydrochloride 443956-42-5P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-carboxylic acid [1-(R)-2-hydroxy-2-(6-methoxyquinolin-4-yl)ethyl]piperidin-4-ylamide dihydrochloride 443956-44-7P, 7-Bromo-3-oxo-3,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-carboxylic acid [1-(R)-2-hydroxy-2-(6-methoxy[1,5-naphthyridin-4-yl)ethyl]piperidin-4-yl]amide dihydrochloride 443956-46-3P, 3-Oxo-3,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-carboxylic acid [1-(R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]piperidin-4-ylamide dihydrochloride 443956-49-0P.

6-[[1-(R)-2-hydroxy-2-(6-methoxy[1,5-naphthyridin-4-yl)ethyl]piperidin-4-yl]amino]ethyl]-6H-pyrido[7,2-b][1,4]thiazin-7-one 443956-95-2P, (R)-2-[4-[[13,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-ylmethyl]amino]piperidin-1-yl]-1-(6-methoxyquinolin-4-yl)ethanol 443956-88-3P, (R)-2-[4-[[13,4-dihydro-2H-pyrido[1,2-b][1,4]thiazine-6-ylmethyl]amino]piperidin-1-yl]-1-(6-methoxy[1,5-naphthyridin-4-yl)ethanol.

See Pharmacological activity; SPN (Synthetic preparation); TSD (Therapeutic use); BCL (Biological study); PREP (Preparation); USES (Uses).

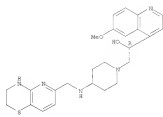
(antibacterial agent; prep. of piperidinylquinolines and nitrogenated analogs as antibacterial agents)

See 443956-12-5 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-3(4H)-one, 6-[[1-(R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

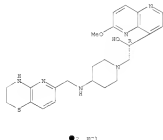


● 2 HCl

See 443956-43-2 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride, (ab) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



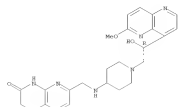
See 443956-40-3 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Hasbe

14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

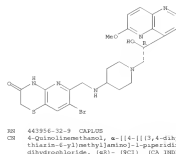


● HCl

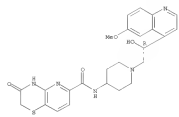
See 443956-20-5 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-3(4H)-one, 7-bromo-6-[[1-(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]amino]methyl-, (CA INDEX NAME)

Absolute stereochemistry.



14 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

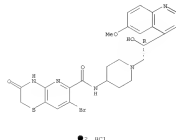


● 2 HCl

See 443956-42-5 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(6-methoxy-4-quinolinyloxy)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

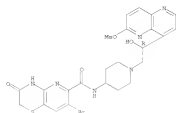
Absolute stereochemistry.



See 443956-44-7 CAPLUS

See 2H-Pyrido[1,2-b][1,4-thiazine-6-carboxamide, 7-bromo-3,4-dihydro-N-[1-(2R)-2-hydroxy-2-(6-methoxy-1,5-naphthyridin-4-yl)ethyl]-4-piperidinyl]-3-oxo-, dihydrochloride (9CI) (CA INDEX NAME)

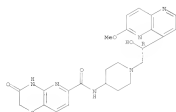
14 ANSWER 19 OF 19 CAPLOS COPYRIGHT 2008 ACS on SYN (Continued)  
Absolute stereochemistry.



● 2 HCl

RI 443956-66-9 CAPLOS  
CN 2E-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide, 7,4-dihydro-N-[1-[(2R)-2-hydroxy-2-[(4-methoxy-1,5-naphthylidin-4-yl)methyl]-4-piperidinyl]-3-oxo-, dihydrochloride (SC1) (CA INDEX NAME)

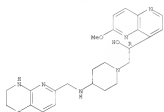
Absolute stereochemistry.



● 2 HCl

RI 443956-83-0 CAPLOS

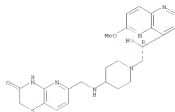
14 ANSWER 19 OF 19 CAPLOS COPYRIGHT 2008 ACS on SYN (Continued)  
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

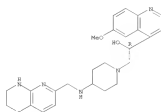
14 ANSWER 19 OF 19 CAPLOS COPYRIGHT 2008 ACS on SYN (Continued)  
CN 2E-Pyrido[7,2-b]-1,4-thiazine-6-carboxamide, 7,4-dihydro-N-[1-[(2R)-2-hydroxy-2-[(4-methoxy-1,5-naphthylidin-4-yl)methyl]-4-piperidinyl]-3-oxo-, dihydrochloride (SC1) (CA INDEX NAME)

Absolute stereochemistry.



RI 443956-88-5 CAPLOS  
CN 6-Quinolinesulfonyl, 6-[[4-[[[1,4-dihydro-2H-pyrido[7,2-b]-1,4-thiazine-6-yl)methyl]amino]-1-piperidinyl]methyl]-4-methoxy-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RI 443956-88-5 CAPLOS  
CN 1,3-bis(4-piperidin-4-ylmethyl)-4-methyl-6-methoxy-1,4-thiazine-6-ylmethyl-4-methyl-6-methoxy-, (4R)- (CA INDEX NAME)